EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	498	loteprednol	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	ON	2007/04/20 13:12
L2	295	"loteprednol etabonate"	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	ON	2007/04/20 13:12
L3	498	L1 or L2	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	ON	2007/04/20 13:13
L4	5119	salbutamol or reproterol or salmeterol or formoterol	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	ON	2007/04/20 13:13
L5	116	L3 and L4	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	ON	2007/04/20 13:13

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NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2	JAN 08	CHEMLIST enhanced with New Zealand Inventory of Chemicals
NEWS 3	JAN 16	CA/CAplus Company Name Thesaurus enhanced and reloaded
NEWS 4	JAN 16	IPC version 2007.01 thesaurus available on STN
NEWS 5	JAN 16	WPIDS/WPINDEX/WPIX enhanced with IPC 8 reclassification data
NEWS 6	JAN 22	CA/CAplus updated with revised CAS roles
NEWS 7	JAN 22	CA/CAplus enhanced with patent applications from India
NEWS 8	JAN 29	PHAR reloaded with new search and display fields
NEWS 9	JAN 29	CAS Registry Number crossover limit increased to 300,000 in multiple databases
NEWS 10	FEB 15	PATDPASPC enhanced with Drug Approval numbers
NEWS 11	FEB 15	RUSSIAPAT enhanced with pre-1994 records
NEWS 12	FEB 23	KOREAPAT enhanced with IPC 8 features and functionality
NEWS 13	FEB 26	MEDLINE reloaded with enhancements
NEWS 14	FEB 26	EMBASE enhanced with Clinical Trial Number field
NEWS 15	FEB 26	TOXCENTER enhanced with reloaded MEDLINE
NEWS 16	FEB 26	IFICDB/IFIPAT/IFIUDB reloaded with enhancements
NEWS 17	FEB 26	CAS Registry Number crossover limit increased from 10,000 to 300,000 in multiple databases
NEWS 18	MAR 15	WPIDS/WPIX enhanced with new FRAGHITSTR display format
NEWS 19	MAR 16	CASREACT coverage extended
NEWS 20	MAR 20	MARPAT now updated daily
NEWS 21	MAR 22	LWPI reloaded
NEWS 22	MAR 30	RDISCLOSURE reloaded with enhancements
NEWS 23	MAR 30	INPADOCDB will replace INPADOC on STN
NEWS 24	APR 02	JICST-EPLUS removed from database clusters and STN

NEWS EXPRESS NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.

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FILE 'HOME' ENTERED AT 13:20:49 ON 20 APR 2007

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FILE 'BIOSIS' ENTERED AT 13:23:34 ON 20 APR 2007
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FILE 'MEDLINE' ENTERED AT 13:23:34 ON 20 APR 2007

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=> s asthma
L2       297883 ASTHMA

=> s L1 and L2
L3           52 L1 AND L2

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PROCESSING COMPLETED FOR L3
L4            32 DUP REM L3 (20 DUPLICATES REMOVED)

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L5   ANSWER 1 OF 6  CAPLUS  COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER:         2002:832575  CAPLUS
DOCUMENT NUMBER:          137:346196
TITLE:                    Treatment of respiratory and lung diseases with
                          antisense oligonucleotides and a bronchodilating agent
INVENTOR(S):              Nyce, Jonathan W.; Li, Yukui; Sandrasagra, Anthony;
                          Katz, Evan; Pabalan, Jonathan; Aguilar, Douglas;
                          Miller, Shoreh; Tang, Lei; Shahabuddin, Syed
PATENT ASSIGNEE(S):       Epigenesis Pharmaceuticals, Inc., USA
SOURCE:                   PCT Int. Appl., 872 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   5
PATENT INFORMATION:

     PATENT NO.           KIND   DATE        APPLICATION NO.          DATE
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WO 2002085308 A2 20021031 WO 2002-XB13135 20020423 <--
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WO 2002085308 A2 20021031 WO 2002-XC13135 20020423 <--
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AU 2002256359 A1 20021105 AU 2002-256359 20020423 <--
US 2004049022 A1 20040311 US 2003-627930 20030725
US 2007021360 A1 20070125 US 2004-475684 20040831 <--
PRIORITY APPLN. INFO.: US 2001-286137P P 20010424 <--
WO 2002-US13135 A 20020423
WO 2002-US13143 A2 20020423

OTHER SOURCE(S): MARPAT 137:346196

AB This patent relates to a composition comprising a carrier, oligonucleotides (oligos) that are antisense to adenosine receptors, and contain low amts. of or no adenosine (A), plus bronchodilating agents. All antisense oligonucleotides designed in accordance with the invention were highly effective at countering or reducing effects mediated by the receptors to which they are targeted. Two antisense phosphorothioated oligos targeting human adenosine A1 receptor mRNA, one targeting adenosine A2b receptor, and two targeting an A3 receptor are capable of countering the effect of exogenously administered adenosine which is mediated by the specific receptor they are targeted to. The activity of the antisense oligos are specific to the target and substitutively fail to inhibit another target. An oligonucleotide wherein the phosphodiester bonds are substituted with phosphorothioate bonds evidenced an unexpected superiority over the phosphodiester antisense oligo. In addition, they result in extremely low or non-existent deleterious side effects or toxicity. This represents 100% success in providing agents that are highly effective and specific in the treatment of bronchoconstriction and/or inflammation. Treatment with antisense oligonucleotides in combination with anti-inflammatory steroid and/or ubiquinones is also provided. These agents and the composition and formulations provided are suitable for the treatment of respiratory tract,

pulmonary and malignant diseases associated with bronchoconstriction, respiratory tract inflammation and allergies, impaired airways, including lung disease and diseases whose secondary effects afflict the lungs of a subject, such as allergies, asthma, impeded respiration, allergic rhinitis, pain, cystic fibrosis, pulmonary fibrosis, RDA, COPD, and cancers, among others. The present agents and composition may be administered preventatively, prophylactically or therapeutically in conjunction with other therapies, or may be utilized as a substitute for therapies that have significant, neg. side effects. The method of the present invention is also practiced with antisense oligonucleotides targeted to many genes, mRNAs and their corresponding proteins in essential the same manner.

L5 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2000:414694 CAPLUS
DOCUMENT NUMBER: 133:261550
TITLE: Loteprednol etabonate: a soft steroid for the treatment of allergic diseases of the airways
AUTHOR(S): Szelenyi, Istvan; Hochhaus, Gunther; Heer, Sabine; Kusters, Sabine; Marx, Degenhard; Poppe, Hildegard; Engel, Jurgen
CORPORATE SOURCE: Pulmonary Pharmacology, Corporate Research & Development, ASTA Medica, Frankfurt and Dresden, Germany
SOURCE: Drugs of Today (2000), 36(5), 313-320
CODEN: MDACAP; ISSN: 0025-7656
PUBLISHER: Prous Science
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
AB A review with 58 refs. There are several approaches for developing new antiallergic/antiasthmatic agents. One of them is the improvement of an existing class of effective drug classes. Due to some undesired effects of intranasal or inhaled corticosteroids, there is a need for better tolerated corticosteroids. Loteprednol etabonate belongs to the so-called class of soft steroids because it is metabolized by a 1-step reaction (hydrolysis) without using the cytochrome P 450 monooxygenase system. In in vitro investigations in human cells, loteprednol inhibited the release of proinflammatory cytokines (e.g., TNF-α, GM-CSF, IL-4, IL-5) to an extent according to its relative binding potency to the glucocorticoid receptor. In in vivo animal studies, loteprednol effectively inhibited allergically induced vascular leakage in the nasal cavity of actively sensitized Brown Norway rats and rhinorrhea in actively sensitized domestic pigs following nasal challenge. In several models of allergic asthma, loteprednol was able to suppress the allergically induced late-phase eosinophilia in mice, rats and guinea pigs. After intrapulmonary administration of loteprednol, only a slight, nonsignificant reduction in thymus weight was observed in a dose range far less than the therapeutically relevant doses. Its therapeutic ratio is clearly superior to those of beclomethasone and budesonide. Loteprednol is a safe steroid with an extremely wide range between therapeutic and side-effect-inducing doses. Its elimination profile, its pronounced binding to plasma protein and erythrocytes and its low oral bioavailability makes this drug highly suitable for nasal or pulmonary use.
REFERENCE COUNT: 58 THERE ARE 58 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 6 EMBASE COPYRIGHT (c) 2007 Elsevier B.V. All rights reserved on STN
ACCESSION NUMBER: 1999314468 EMBASE
TITLE: Therapeutic potential of phosphodiesterase 4 inhibitors in allergic diseases.
AUTHOR: Crocker I.C.; Townley R.G.
CORPORATE SOURCE: Dr. R.G. Townley, Dept. of Medicine/Allergy Division,

Creighton University, 2500 California Plaza, Omaha, NE 68178, United States
SOURCE: Drugs of Today, (1999) Vol. 35, No. 7, pp. 519-535. .
Refs: 137
ISSN: 0025-7656 CODEN: MDACAP
COUNTRY: Spain
DOCUMENT TYPE: Journal; General Review
FILE SEGMENT: 026 Immunology, Serology and Transplantation
030 Pharmacology
037 Drug Literature Index
LANGUAGE: English
SUMMARY LANGUAGE: English
ENTRY DATE: Entered STN: 27 Sep 1999
Last Updated on STN: 27 Sep 1999

AB Cyclic adenosine monophosphate (cAMP) is thought to be associated with inflammatory cell activity: high levels tend to decrease proliferation and cytokine secretion, whereas low concentrations have the opposite effect (1). Since many phosphodiesterases (PDEs) degrade cAMP, inhibitors of this enzyme decrease inflammatory cell activity. Theophylline, which has nonselective PDE inhibitor activity in addition to its other mechanisms of action, has been used in the treatment of asthma for many years. Unfortunately, because of the important role of PDEs in the cell, nonspecific inhibition of these enzymes causes many undesirable side effects. The discovery of PDE isoenzyme families (PDE1-PDE10), their subtypes (HPDE4 and LPDE4) and their differential distribution among the cell types, as well as their specific functions in controlling cell processes, has led to the development of new, specific PDE4 inhibitors. This review details the rationale for the use of PDE4 inhibitors in the treatment of allergic disease. In addition, the effects of PDE4 inhibitors in vitro, in preclinical animal models and in the clinic are covered. Finally, up-to-date information on the most recently developed inhibitors, such as SB-207499, CDP-840, AWD-12-281 and D-4418, is provided.

L5 ANSWER 4 OF 6 EMBASE COPYRIGHT (c) 2007 Elsevier B.V. All rights reserved on STN
ACCESSION NUMBER: 1999273042 EMBASE
TITLE: The ideal steroid.
AUTHOR: Brattsand R.
CORPORATE SOURCE: R. Brattsand, Astra Draco AB, Preclinical R and D, PO Box 34, S-221 00 Lund, Sweden
SOURCE: Pulmonary Pharmacology and Therapeutics, (1999) Vol. 12, No. 2, pp. 119-122. .
Refs: 19
ISSN: 1094-5539 CODEN: PPTHFJ
COUNTRY: United Kingdom
DOCUMENT TYPE: Journal; Conference Article
FILE SEGMENT: 015 Chest Diseases, Thoracic Surgery and Tuberculosis
030 Pharmacology
037 Drug Literature Index
LANGUAGE: English
ENTRY DATE: Entered STN: 19 Aug 1999
Last Updated on STN: 19 Aug 1999
DATA NOT AVAILABLE FOR THIS ACCESSION NUMBER

L5 ANSWER 5 OF 6 EMBASE COPYRIGHT (c) 2007 Elsevier B.V. All rights reserved on STN
ACCESSION NUMBER: 1999218575 EMBASE
TITLE: Allergies: New treatment options and studies.
AUTHOR: Evans Y.
CORPORATE SOURCE: Y. Evans, Univ. of Mississippi Hosp./Clinics, Jackson, MS, United States
SOURCE: Drug Topics, (7 Jun 1999) Vol. 143, No. 11 SUPPL., pp. 10s-15s. .

ISSN: 0012-6616 CODEN: DGTNA7
COUNTRY: United States
DOCUMENT TYPE: Journal; General Review
FILE SEGMENT: 006 Internal Medicine
015 Chest Diseases, Thoracic Surgery and Tuberculosis
026 Immunology, Serology and Transplantation
037 Drug Literature Index
038 Adverse Reactions Titles
LANGUAGE: English
SUMMARY LANGUAGE: English
ENTRY DATE: Entered STN: 8 Jul 1999
Last Updated on STN: 8 Jul 1999
AB For years, antihistamines, decongestants, and corticosteroids have been the mainstay in treating allergic disorders. Today, the pharmacotherapy options are expanding, and more clinical trials are being conducted to determine the best treatments for the various allergic disorders. When chronic diseases, such as allergic disorders, affect one in five North Americans, it is important that pharmacists stay abreast of the treatment options that are available and under investigation.

L5 ANSWER 6 OF 6 EMBASE COPYRIGHT (c) 2007 Elsevier B.V. All rights reserved on STN
ACCESSION NUMBER: 1999056606 EMBASE
TITLE: New molecular entities approved in 1998.
SOURCE: Drug Topics, (1 Feb 1999) Vol. 143, No. 3, pp. 60-71. .
ISSN: 0012-6616 CODEN: DGTNA7
COUNTRY: United States
DOCUMENT TYPE: Journal; Note
FILE SEGMENT: 037 Drug Literature Index
038 Adverse Reactions Titles
LANGUAGE: English
ENTRY DATE: Entered STN: 19 Mar 1999
Last Updated on STN: 19 Mar 1999
DATA NOT AVAILABLE FOR THIS ACCESSION NUMBER

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L7 22 L1 AND L6

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PROCESSING COMPLETED FOR L7
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L9 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:832575 CAPLUS
DOCUMENT NUMBER: 137:346196
TITLE: Treatment of respiratory and lung diseases with antisense oligonucleotides and a bronchodilating agent
INVENTOR(S): Nyce, Jonathan W.; Li, Yukui; Sandrasagra, Anthony; Katz, Evan; Pabalan, Jonathan; Aguilar, Douglas;

Miller, Shoreh; Tang, Lei; Shahabuddin, Syed
PATENT ASSIGNEE(S): Epigenesis Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 872 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PRIORITY APPLN. INFO.:			US 2001-286137P	P 20010424 <--
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OTHER SOURCE(S): MARPAT 137:346196

AB This patent relates to a composition comprising a carrier, oligonucleotides (oligos) that are antisense to adenosine receptors, and contain low amts. of or no adenosine (A), plus bronchodilating agents. All antisense oligonucleotides designed in accordance with the invention were highly effective at countering or reducing effects mediated by the receptors to

which they are targeted. Two antisense phosphorothioated oligos targeting human adenosine A1 receptor mRNA, one targeting adenosine A2b receptor, and two targeting an A3 receptor are capable of countering the effect of exogenously administered adenosine which is mediated by the specific receptor they are targeted to. The activity of the antisense oligos are specific to the target and substitutively fail to inhibit another target. An oligonucleotide wherein the phosphodiester bonds are substituted with phosphorothioate bonds evidenced an unexpected superiority over the phosphodiester antisense oligo. In addition, they result in extremely low or non-existent deleterious side effects or toxicity. This represents 100% success in providing agents that are highly effective and specific in the treatment of bronchoconstriction and/or inflammation. Treatment with antisense oligonucleotides in combination with anti-inflammatory steroid and/or ubiquinones is also provided. These agents and the composition and formulations provided are suitable for the treatment of respiratory tract, pulmonary and malignant diseases associated with bronchoconstriction, respiratory tract inflammation and allergies, impaired airways, including lung disease and diseases whose secondary effects afflict the lungs of a subject, such as allergies, asthma, impeded respiration, allergic rhinitis, pain, cystic fibrosis, pulmonary fibrosis, RDA, COPD, and cancers, among others. The present agents and composition may be administered preventatively, prophylactically or therapeutically in conjunction with other therapies, or may be utilized as a substitute for therapies that have significant, neg. side effects. The method of the present invention is also practiced with antisense oligonucleotides targeted to many genes, mRNAs and their corresponding proteins in essential the same manner.

L9 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:	2002:777694 CAPLUS
DOCUMENT NUMBER:	137:284361
TITLE:	Drug delivery aerosols containing hydrofluoroalkanes and solid excipients
INVENTOR(S):	Mueller-Walz, Rudi; Niederlaender, Carsten
PATENT ASSIGNEE(S):	Jago Research A.-G., Switz.
SOURCE:	PCT Int. Appl., 42 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	German
FAMILY ACC. NUM. COUNT:	1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002078671	A1	20021010	WO 2002-CH145	20020311 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2442415	A1	20021010	CA 2002-2442415	20020311 <--
AU 2002234476	A1	20021015	AU 2002-234476	20020311 <--
EP 1372608	A1	20040102	EP 2002-701145	20020311 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
CN 1499958	A	20040526	CN 2002-807382	20020311 <--
NZ 528640	A	20040625	NZ 2002-528640	20020311 <--
JP 2004525148	T	20040819	JP 2002-576937	20020311 <--
HU 200401250	A2	20041129	HU 2004-1250	20020311 <--
RO 121172	B1	20070130	RO 2003-799	20020311 <--
RU 2294737	C2	20070310	RU 2003-131676	20020311 <--

IN 2003KN01142	A	20051014	IN 2003-KN1142		20030909	<--
ZA 2003007161	A	20041123	ZA 2003-7161		20030912	<--
NO 2003004323	A	20030926	NO 2003-4323		20030926	<--
US 2004101483	A1	20040527	US 2003-473874		20030930	<--
PRIORITY APPLN. INFO.:			CH 2001-601	A	20010330	<--
			CH 2001-1527	A	20010820	<--
			WO 2002-CH145	W	20020311	

OTHER SOURCE(S): MARPAT 137:284361

AB The invention concerns drug delivery systems in form of aerosols that contain the active substance, the palmitates and stearates of calcium, magnesium and zinc as solid excipients, and hydrofluoroalkanes. Thus 24.96 g micronized budesonide and 3.12 g magnesium stearate were weighed in to a pressure vessel and filled with 7.8 kg HFA 134a. After homogenization the suspension was filled into aluminum inhalers.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:293418 CAPLUS

DOCUMENT NUMBER: 136:330549

TITLE: Topical antibiotic composition for treatment of eye infection

INVENTOR(S): Bandyopadhyay, Rebanta; Secreast, Pamela J.; Hawley, Leslie C.; McCurdy, Vincent E.; Tyle, Praveen; Bandyopadhyay, Paramita; Singh, Satish K.

PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA

SOURCE: PCT Int. Appl., 41 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE	
WO 2002030395	A1	20020418	WO 2001-US31590		20011010	<--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU						
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG						
CA 2424444	A1	20020418	CA 2001-2424444		20011010	<--
AU 200196753	A	20020422	AU 2001-96753		20011010	<--
EP 1324748	A1	20030709	EP 2001-977651		20011010	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR						
JP 2004510809	T	20040408	JP 2002-533838		20011010	<--
PRIORITY APPLN. INFO.:			US 2000-239136P	P	20001010	<--
			US 2001-285340P	P	20010420	<--
			WO 2001-US31590	W	20011010	<--

OTHER SOURCE(S): MARPAT 136:330549

AB There is provided a pharmaceutical composition suitable for topical administration to an eye, the composition comprising as active agent one or more oxazolidinone antibacterial drugs, for example linezolid, in a concentration
effective for treatment and/or prophylaxis of a gram-pos. bacterial infection of the eye, and one or more ophthalmically acceptable excipient ingredients that reduce rate of removal of the composition from the eye by lacrimation such that the composition has an effective residence time in the eye of about 2 to about 24 h. The composition is, for example, an in situ

gellable solution, suspension or solution/suspension. Formulations containing a gelling or mucoadhesive agent (xanthan gum, HPMC, poloxamer 407, and polycarbophil) resulted in significant amts. of linezolid being retained in the exterior of treated eyes 1 h or more after application.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:71873 CAPLUS
DOCUMENT NUMBER: 136:123671
TITLE: Ophthalmic formulation of a selective cyclooxygenase-2 inhibitory drug
INVENTOR(S): Kararli, Tugrul T.; Bandyopadhyay, Rebanta; Singh, Satish K.; Hawley, Leslie C.
PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA
SOURCE: PCT Int. Appl., 71 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2002005815	A1	20020124	WO 2001-US22061		20010712 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
CA 2414780	A1	20020124	CA 2001-2414780		20010712 <--
AU 200175908	A	20020130	AU 2001-75908		20010712 <--
US 2002035264	A1	20020321	US 2001-904098		20010712 <--
EP 1303271	A1	20030423	EP 2001-953462		20010712 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
JP 2004528267	T	20040916	JP 2002-511747		20010712 <--
ZA 2003009298	A	20040512	ZA 2003-9298		20031128 <--
PRIORITY APPLN. INFO.:			US 2000-218101P	P	20000713 <--
			US 2001-279285P	P	20010328 <--
			US 2001-294838P	P	20010531 <--
			US 2001-296388P	P	20010606 <--
			WO 2001-US22061	W	20010712 <--

OTHER SOURCE(S): MARPAT 136:123671

AB A pharmaceutical composition suitable for topical administration to an eye contains a selective COX-2 inhibitor or nanoparticles of a drug of low water solubility, at a concentration effective for the treatment and/or prophylaxis of a disorder in the eye, and 1 or more ophthalmically acceptable excipients that reduce rate of removal from the eye such that the composition has an effective residence time of 2-24 h. Also provided is a method of treating and/or preventing a disorder in an eye, the method comprising administering to the eye a composition of the invention. Thus, an ophthalmic nanoparticle suspension contained valdecoxib at 2.15 mg/g, 1.2% glycerin, 0.8% EDTA disodium salt, 4.0% Gelcarin GP-379NF, 0.21% SeaSpen PF and 0.82% Povidone.

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:247172 CAPLUS
DOCUMENT NUMBER: 134:256899
TITLE: Combination of loteprednol and β2-adrenoceptor agonists for the treatment of allergies and respiratory tract diseases
INVENTOR(S): Szelenyi, Istvan; Poppe, Hildegard; Heer, Sabine; Engel, Juergen
PATENT ASSIGNEE(S): Asta Medica Ag, Germany
SOURCE: PCT Int. Appl., 16 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001022956	A2	20010405	WO 2000-EP9392	20000926 <--
WO 2001022956	A3	20011011		
W: AU, BG, BR, BY, CA, CN, CZ, DZ, EE, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LT, LV, MK, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, UA, US, UZ, YU, ZA, AM, AZ, MD, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
DE 19947235	A1	20010405	DE 1999-19947235	19990930 <--
CA 2389111	A1	20010405	CA 2000-2389111	20000926 <--
AU 200079074	A	20010430	AU 2000-79074	20000926 <--
BR 2000014374	A	20020625	BR 2000-14374	20000926 <--
EP 1216047	A2	20020626	EP 2000-969304	20000926 <--
EP 1216047	B1	20051012		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
HU 200202753	A2	20021228	HU 2002-2753	20000926 <--
JP 2003510276	T	20030318	JP 2001-526168	20000926 <--
EE 200200163	A	20030415	EE 2002-163	20000926 <--
AT 306271	T	20051015	AT 2000-969304	20000926 <--
CZ 296396	B6	20060315	CZ 2002-1095	20000926 <--
ES 2248131	T3	20060316	ES 2000-969304	20000926 <--
TW 253930	B	20060501	TW 2000-89119863	20000926 <--

PRIORITY APPLN. INFO.: DE 1999-19947235 A 19990930 <--
WO 2000-EP9392 W 20000926 <--

AB The invention relates to a novel combination of a soft steroid, especially loteprednol, and at least one β2-adrenoceptor agonist for treating allergies and/or respiratory tract diseases simultaneously, sequentially or sep.; to drugs containing said combination, to methods for producing such drugs and to the use of the novel combination for producing drugs for the simultaneous, sequential or sep. treatment of allergies and/or respiratory tract diseases. Thus and aerosol was prepared that contained 6 μg formoterol fumarate dihydrate and 200 μg loteprednol per stroke. 2H-heptafluoropropane (1.000 g) propellant was cooled to -55°C and 11.7 g Tagat TO in 11.7 g ethanol was added under stirring, followed by the addition of 3.34 g micronized loteprednol etabonate and 0.1 g formoterol fumarate dihydrate. The suspension was diluted with 1,170.0 g 2H-heptafluoropropane, filled in metal containers with valves for dosing 50 μL suspension per stroke.

L9 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2000:351357 CAPLUS
DOCUMENT NUMBER: 133:9107
TITLE: Dry powder for inhalation
INVENTOR(S): Keller, Manfred; Mueller-Walz, Rudi
PATENT ASSIGNEE(S): Skyepharma A.-G., Switz.

SOURCE: PCT Int. Appl., 44 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000028979	A1	20000525	WO 1999-CH528	19991110 <--
W: AU, CA, CN, CZ, HU, IN, JP, NO, NZ, PL, RO, RU, SK, US, ZA				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2347856	A1	20000525	CA 1999-2347856	19991110 <--
AU 9964578	A	20000605	AU 1999-64578	19991110 <--
AU 756852	B2	20030123		
EP 1131059	A1	20010912	EP 1999-952212	19991110 <--
EP 1131059	B1	20030305		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, RO				
HU 200104226	A2	20020228	HU 2001-4226	19991110 <--
JP 2002529498	T	20020910	JP 2000-582027	19991110 <--
NZ 511527	A	20021025	NZ 1999-511527	19991110 <--
EP 1283036	A1	20030212	EP 2002-25796	19991110 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
AT 233550	T	20030315	AT 1999-952212	19991110 <--
PT 1131059	T	20030731	PT 1999-952212	19991110 <--
ES 2192866	T3	20031016	ES 1999-952212	19991110 <--
RU 2221552	C2	20040120	RU 2001-116074	19991110 <--
SK 284889	B6	20060202	SK 2001-632	19991110 <--
IN 2001KN00479	A	20060324	IN 2001-KN479	20010501 <--
ZA 2001003627	A	20010509	ZA 2001-3627	20010504 <--
NO 2001002346	A	20010626	NO 2001-2346	20010511 <--
US 6645466	B1	20031111	US 2001-831011	20010809 <--
US 2004202616	A1	20041014	US 2003-628965	20030728 <--
US 7186401	B2	20070306		

PRIORITY APPLN. INFO.:	CH 1998-2286	A	19981113 <--
	EP 1999-952212	A3	19991110 <--
	WO 1999-CH528	W	19991110 <--
	US 2001-831011	A1	20010809 <--

AB The moisture resistance of dry powder formulations for inhalation, which contain a pharmaceutically inert carrier of noninhalable particle size and a finely divided pharmaceutical substance of inhalable particle size, is improved and the storage stability of the formulations is increased by adding Mg stearate to minimize the deleterious effect of moisture on fine particle dose and fine particle fraction even under relatively extreme temperature and humidity conditions. Thus, 198.46 g lactose-H2O (particle size 100% <200 μm, 50% <125 μm, 10% <75 μm) was mixed with 1 g sieved Mg stearate, then with 0.54 g formoterol fumarate-2H2O, and loaded into a multidose dry powder inhaler.

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2000:116874 CAPLUS
DOCUMENT NUMBER: 132:156861
TITLE: Medicinal aerosol formulations
INVENTOR(S): Keller, Manfred; Herzog, Kurt; Mueller-Walz, Rudi; Kraus, Holger
PATENT ASSIGNEE(S): Jago Research A.-G., Switz.
SOURCE: PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000007567	A1	20000217	WO 1999-CH360	19990802 <--
W: AU, CA, CN, IN, JP, NO, NZ, US, ZA				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2338680	A1	20000217	CA 1999-2338680	19990802 <--
AU 9948939	A	20000228	AU 1999-48939	19990802 <--
AU 749697	B2	20020704		
EP 1102579	A1	20010530	EP 1999-932599	19990802 <--
EP 1102579	B1	20030319		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002522374	T	20020723	JP 2000-563253	19990802 <--
NZ 509489	A	20021025	NZ 1999-509489	19990802 <--
AT 234604	T	20030415	AT 1999-932599	19990802 <--
PT 1102579	T	20030731	PT 1999-932599	19990802 <--
ES 2193726	T3	20031101	ES 1999-932599	19990802 <--
IN 2001KN00067	A	20050624	IN 2001-KN67	20010116 <--
ZA 2001000569	A	20010730	ZA 2001-569	20010119 <--
NO 2001000531	A	20010131	NO 2001-531	20010131 <--
US 6475467	B1	20021105	US 2001-744798	20010420 <--

PRIORITY APPLN. INFO.:	CH 1998-1633	A	19980804 <--
	WO 1999-CH360	W	19990802 <--

AB Pharmaceutically acceptable solid salts containing cromoglycic acid and/or nedocromil as a vehicle, at concns. which are not therapeutically and prophylactically active, are used in suspension aerosol formulations of pharmaceutical active ingredients in fluoroalkane propellants to improve the dispersion characteristics, increase the phys. and chemical stability of moisture-sensitive active ingredients, allow for accurate dosing of active ingredients even at low dosage, and generally eliminate the need for surface-active agents. Thus, 6 g micronized formoterol fumarate and 12 g micronized di-Na cromoglycate were mixed in an evacuated vessel with fluoroalkane HFA 134a 35, HFA 227 35 kg, and EtOH 3 weight%, and the suspension was homogenized and dispensed into Al vials equipped with dosing valves.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1998:548517 CAPLUS
DOCUMENT NUMBER: 129:166237
TITLE: Fluorocarbon propellants for medical aerosol formulations
INVENTOR(S): Keller, Manfred; Herzog, Kurt
PATENT ASSIGNEE(S): Jago Pharma A.-G., Switz.
SOURCE: PCT Int. Appl., 47 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9834595	A1	19980813	WO 1998-CH37	19980202 <--
W: AU, CA, JP, NO, NZ, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2280099	A1	19980813	CA 1998-2280099	19980202 <--
CA 2280099	C	20051227		

AU 9856496	A	19980826	AU 1998-56496	19980202 <--
AU 718967	B2	20000504		
EP 1014943	A1	20000705	EP 1998-900837	19980202 <--
EP 1014943	B1	20020619		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
NZ 337065	A	20010223	NZ 1998-337065	19980202 <--
JP 2001511160	T	20010807	JP 1998-533479	19980202 <--
AT 219355	T	20020715	AT 1998-900837	19980202 <--
PT 1014943	T	20021129	PT 1998-900837	19980202 <--
ES 2178817	T3	20030101	ES 1998-900837	19980202 <--
ZA 9800937	A	19980806	ZA 1998-937	19980205 <--
NO 9903773	A	19991004	NO 1999-3773	19990804 <--
US 6461591	B1	20021008	US 1999-355883	19990804 <--
PRIORITY APPLN. INFO.:			CH 1997-248 A	19970205 <--
			WO 1998-CH37 W	19980202 <--

AB A pressure-liquefied propellant mixture for aerosols comprising a fluoridated alkane [especially 1,1,1,2-tetrafluoroethane and/or 1,1,1,2,3,3,3-heptafluoropropane (HFA 227)] and CO2 improves the wetting properties for pharmaceutical active substances, whereby existing formulation problems with hydrofluoroalkanes in suspension and solution aerosols can be overcome and improved medical aerosol formulations can be obtained. By using CO2, the pressure and hence the particle size distribution can be influenced in a targeted manner, and by removing O2 from the hydrofluoroalkanes the stability during storage of oxidation-sensitive active substances can be improved. Thus, 1.5 kg HFA 227 was gassed with CO2 and added at 6.5 bar and 20° to a solution of beclomethasone dipropionate 2.5 and oleic acid 0.25 in EtOH 55 g in a pressurized vessel; the mixture was dispensed into Al aerosol canisters. The mean aerodynamic particle diameter and fine particle dose per stroke of the dosing valve were .apprx.1.3 μm and 61.5 μg, resp., immediately after filling the canisters; after 6 mo storage at 30° and 70% relative humidity, these values were .apprx.1.3 μm and 71.8 μg, resp.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 9 OF 9 EMBASE COPYRIGHT (c) 2007 Elsevier B.V. All rights reserved on STN

ACCESSION NUMBER: 2000019210 EMBASE

TITLE: Soft drug design: General principles and recent applications.

AUTHOR: Bodor N.; Buchwald P.

CORPORATE SOURCE: N. Bodor, Center for Drug Discovery, University of Florida, Health Science Center, P.O. Box 100497, Gainesville, FL 32610-0497, United States

SOURCE: Medicinal Research Reviews, (2000) Vol. 20, No. 1, pp. 58-101. .
Refs: 208
ISSN: 0198-6325 CODEN: MRREDD

COUNTRY: United States

DOCUMENT TYPE: Journal; General Review

FILE SEGMENT: 037 Drug Literature Index

LANGUAGE: English

SUMMARY LANGUAGE: English

ENTRY DATE: Entered STN: 20 Jan 2000
Last Updated on STN: 20 Jan 2000

AB Soft drug design represents a new approach aimed to design safer drugs with an increased therapeutic index by integrating metabolism considerations into the drug design process. Soft drugs are new therapeutic agents that undergo predictable metabolism to inactive metabolites after exerting their therapeutic effect. Hence, they are obtained by building into the molecule, in addition to the activity, the most desired way in which the molecule is to be deactivated and detoxified. In an attempt to systematize and summarize the related work

done in a number of laboratories, including ours, the present review presents an overview of the general soft drug design principles and provides a variety of specific examples to illustrate the concepts. A number of already marketed drugs, such as esmolol, remifentanil, or loteprednol etabonate, resulted from the successful application of such design principles. Many other promising drug candidates are currently under investigation in a variety of fields including possible soft antimicrobials, anticholinergics, corticosteroids, β-blockers, analgetics, ACE inhibitors, antiarrhythmics, and others. Whenever possible, pharmacokinetic and pharmacodynamic properties are briefly summarized and compared to those of other compounds used in the same field.

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